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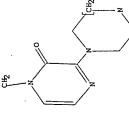
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Preparation of piperazinyl-2(1H)-pyrazinones for treatment of 5-HT2A

receptor-related disorders Nilsson, Bjoern; Thor, Markus; Cernerud, Magnus; Lundstroem, Helena Biovitrum Ab, Swed. PA SO

PCT Int. Appl., 90 pp. CODEN: PIXXD2

Patent

DT Pat LA Eng FAN.CNT

English

CH, CN, GE, GH, LK, LR, NZ, OM, TR, TT, BY, ES, TR, 20030625 AZ, EE, SK, AM, DK, BZ, KZ, NI, ZW, DE, BY, FI, KR, MZ, TJ, ZM, CZ, RO, APPLICATION NO. 2003-SE1102 BA, JP, JP, VY, YU, SE, LU, 20040129 Α, R, AT, DE, KIND SE RECENT WO 2004009586 AE, GM, LLS, PH, TZ, KG, FI, PATENT NO. RW: ΡΙ

SN, TD, TG 20030625 20030625 20030625 SE, MC, PT, HU, SK 20030625 20030617 APPLECANTS R: AT, BE, CH, IE, SI, LT, BF, BJ, CF, JP 200553384 US 200463363 PRAI SE 2002-2287 US 2002-426240P WO 2003-451102 OS MARPAT 140:128433 RE.CNT B THERE ARE CA 2492924 BR 2003012789 EP 1534391

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FNABLEMENT

SEARCH

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Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN MEDLINE on STN Entered STN: 20030109 Last Updated on STN: 20030125 Entered Medline: 20030124 Entered STN: 20030403 Last Updated on STN: 20030924 Entered Medline: 20030923 -> S L4 AND (2001/PY OR 2002/PY) => S L2 OR L1 OR L3 L4 661 L2 OR L1 OR L3 MEDLINE (1(W)22)PubMed ID: 12457458 2003153051 MEDL PubMed ID: 12656947 Priority Journals Priority Journals ANSWER 3 OF 22 3587146 1 343498 22 11321 1-22 ANSWER 1 OF 22 ANSWER 2 OF 22 secretion. English => D L5 1-22 English => S 1-22 AN TI NAN CS S SERREG CS TINA S YEAR SE 15 16 SA II DAS

PubMed ID: 12097192 Sectorin antagonist profiling on SHTZA and SHTZC receptors by nonequilibrium intracellular calcium response using an automated flow-through fluorescence analysis system, HT-PS 100. Askler Gregory: Otto Michael: Okun Alex; Okun Ilya Axiom Biosciences, Axiom Biotechnologies, Inc., San Diego, CA 92121, USA... galer@axiomblo.com Pubbed ID: 12027444
Muramyl dipeptide (MDP) and 5-HT receptors. Neuroimmunomodulatory effects
Muramyl dipeptide (MDP) and 5-HT receptors. Neuroimmunomodulatory effects
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Sevork Jan; Ruicka Vladimir; Slainsky Josef; Masek Karel
Institute of Pharmacology, Academy of Sciences of the Czech Republic,
Prague.. sevokik@biomed.cas.cz
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ED S SO TANA AU SO 검당 BESE TRAE AO SO INSE S S Pubmed ID: 11861321 5-HT(1B) but not 5-HT(6) or 5-HT(7) receptors mediate depression of spinal PubMed ID: 11906971
The human 5-H77 serotonin receptor splice variants: constitutive activity and inverse agonist effects.
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MSD Cardiovascular Research Center, University of Oslo, Rikshospitalet PubMed ID: 11772289
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Diener H C; Limmarch V
Department of Neurology, University Essen, Hufelandstr. 55, 45122 Essen,
Germany.. h.diener@uni-essen.de Departamento de Fisiologia, Edificio de Medicina, Universidad de Alcala, Madrid 28871, Spain. University Hospital, Blindern, N-0316 Oslo, Norway. British journal of pharmacology, (2002 Mar) 135 (6) 1563-71. Journal code: 7502536. ISSN: 0007-1188. Expert opinion on investigational drugs, (2001 Oct) 10 (10) 1831-45. Ref: 177
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Pennati R: Groppelli S: Sotgia C: Candiani S: Pestarino M; De Bernardi F
Department of Biology, Section of Zoology SN 'B, University of Milano, via
Celoim 26, 2013 Milano, Italy. sirob@mailserver.unimi.it
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JOURNAL: Article; (JOURNAL ARTICLE)
(RANDOMIZED CONTROLLED TRIAL) Journal; Article; (JOURNAL ARTICLE) Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN MEDLINE on STN Entered STN: 20020124 Last Updated on STN: 20020508 Entered Medline: 20020507 Entered STN: 20020125 Last Updated on STN: 20020301 Entered Medline: 20020228 20020404 Last Updated on STN: 2002 Entered Medline: 20020402 MEDLINE Entered STN: 20020102 Entered STN: 20011212 PubMed ID: 1171723 Priority Journals Priority Journals Priority Journals ANSWER 12 OF 22 ANSWER 10 OF 22 ANSWER 11 OF 22 United States United States English English BESE

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Journal: Article; (JOURNAL ARTICLE)
(RANDOMIZED CONTROLLED TRIAL) MEDLINE ON STN MEDLINE Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN 2 MEDLINE on STN MEDLINE Entered STN: 20011108 Last Updated on STN: 20020123 Entered Medline: 20011205 Entered STN: 20011001 Last Updated on STN: 20020122 Entered Medline: 20011205 Entered STN: 20011203 Last Updated on STN: 20020223 Entered Medline: 20020222 Last Updated on STN: 20030118 Entered Medline: 20020212 MEDLINE PubMed ID: 11573989 PubMed ID: 11697445 Priority Journals Priority Journals Priority Journals ANSWER 14 OF 22 ANSWER 15 OF 22 ANSWER 13 OF 22 NS11255 (NINDS) NS39161 (NINDS) English English 200202 200112 India. ISSE AU CS NC SO BESEBS E E E LES SO TI CY

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ANSWER 16 OF 22

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Department of Medicine, University of Florida, Gainesville, USA.
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Secretarin modifies the neuronal inhibitory responses to gamma-aminobutyric acid in the red nucleus: a microiontophoretic study in the rat.
Licata F; Li Volsi G; Di Mauro M; Fretto G; Ciranna L; Santangelo F
Department of Physiological Sciences, University of Catania, Catania, 65125, Italy.
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Fratucci De Gobbi J I: De Luca L A Jr: Johnson A K; Menani J V
Department of Physiology and Pathology, School of Dentistry, Paulista
State University (UNESP), 14801 - 903 Araraquara, Sao Paulo, Brazil.
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ANSWER 18 OF 22 MEDLINE on STN

REITRIEDED bowel syndrome (IES) is a functional gut disorder the diagnosis of which is based on clinical symptoms as set forth by the Rome criteria. As the population ages, especially with the population of patients >75 years of age expanding greatly over the next 10 years, IBS is becoming one of the most common diseases of the elderly. Thus far, developing treatment strategies for patients with IBS has been difficult because of the lack of pharmacological targets and the wide range of symptomatology. Additionally, demonstration of a therapeutic benefit is difficult in the presence of a high placebo response observed regardless of the therapy employed. Fibre, antidiarrhoeals and antipassmodics all play some robe in the symptomatic treatment of IBS. With the evolution of IBS as a disorder of visceral hypersensitivity, new drugs have been developed that target the enteric nervous system. Tricyclic antidepressants (TCAs) have been found to target the enteric nervons and play a role in pain modulation. The newest class of drugs to be approved for use in IBS are the serotonin (5-hydroxytryptamine; 5-HT) antagoniats.

Specifically, the S-HT3 acceptor antagonists have been shown to decrease symptoms in female patients with IBS. A related class of drugs, the 5-HT4 coeptor agonists, is being developed for the treatment of spinal afferent neurons in visceral hypersensitivity; is at the forefront of IBS research. Several experimental drug therapies for IBS are also

discussed in this review including N-methyl-D-aspartate receptor antagonists, neurokinin-I receptor antagonists, octreotide, clonidine and the selective M3 receptor antagonist, zamifenacin.

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MEDLINE on STN

Anywhere to the control of the detacks of headache, nausea, womiting, photo- and phonophobia and malaise. This review summarises new treatment options both for the therapy of the acute attack as well as for migraine prophylaxis. Analgeists like aspirin or non-steroidal anti-inflammatory drugs (NSAIDs) are effective in treating migraine attacks. Few controlled trials were perfective in treating migraine or dihydroergotamine. These trials indicate inferior efficacy compared with serotonin (5-HT(1BND)) agonists (triptans). The triptans dimportation and zolmitriptan), are highly effective. They improve headache as well as nausea, photo- and phonophobia. The different triptans show only minor differences in efficacy, headache recurrence and adverse effects. The knowledge of their different pharmacological profile allows as more specific treatment of the individual migraine characteristics. Migraine prophylaxis is recommended, when more than three attacks occur per month, if attacks do not respond to acute treatment or if side effects of acute treatment are severe. Substances with proven efficacy include the beta-blockers metoprolol and propranolol, the calcium channel blocker flunarizine, several 5-HT antagonia and mitriptylyine. Recently anti-epileptic drugs (valproic acid, gabapentin, topizamate) were evaluated for the prophylaxis of migraine. The use of botulinum toxin is under investigation. 5 8

In addition to dopamine, serotonin (5-hydroxytryptamine, 5-HT) has been reported to play an important role in schizophrenia. Besides blocking dopamine, atplical antipsychotics also block 5-HT receptors. The clinical efficacy of the atypical antipsychotic closapine is associated with the 5-HT antagonistic action of the drug and a high serotonegic tone before treatment. The atypical antipsychotic olanzapine has a receptor-binding profile similar to that of clozapine. The present study investigated whether treatment with olanzapine blocks (m-CPP) and, if so, whether this 5-HT antagonistic effect is related to treatment response. Eighteen placebo-controlled design after a drug-free period of at least 2 weeks. Adrenocorticotroptc hormone (ACTH), cortisol, and prolactin plasma levels were measured every 30 minutes up to 210 minutes after challenge. Patients were treated for 6 weeks with 10 mg olanzapine daily in an open design, after which the challenge tests were repeated. Clarzapine sugnificantly blocked m-CPP-induced ACTH, cortisol, and prolactin release, suggesting that it is a potent 5-HTCc antagonist in vivo. This 5 -HT antagonistic effect of olanzapine was not male schizophrenic patients participated in this study. All patients were challenged with m-CPP (0.5 mg/kg orally) in a double-blind, randomized, MEDLINE on STN ANSWER 10 OF 22 E P

treatment and clinical response after treatment with olanzapine. These findings suggest that olanzapine is a potent 5-HT2c antagonist in vivo but that this is unrelated to its clinical efficacy in this nonrefractory significantly correlated with treatment response. Also, no significant correlation was found between m-CPP-induced hormone release before sample of schizophrenic patients

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The default display format is BIB.

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To display a particular field or fields, enter the display field codes. For a list of display field codes, enter 'HELP DFTELDS' at an arrow prompt (">>). Examples of formats include: 'BIB'; 'AB'; 'SO.ST'. You may specify the format fields in any order, and the information will be displayed in the same order as the format specification.

The same formats (except for HIT, HITIND, KWIC, and OCC) may be used with the DISPLAY ACC command to display the record for a specified Accession Number. ENTER DISPLAY FORMAT (BIB) : END

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ACKEROUND: Parhological gambling is a disabling and highly prevalent impulse-control disorder not otherwise specified (NOS). According to the hypothesis of abnormal serotonin function in the pathophysiology of poor impulse control and pathological gambling, we assessed the efficacy and tolerability of nefazodone, a 5-HT antagonist reported to be effective in other impulse-control disorders NOS, in the treatment of pathological gambling. WETHOD: Fourteen outpatients who met DSW-IV criteria for pathological gambling were enrolled in a prospective 8-week open-label oral nefazodone trial. Nefazodone was initiated at 50 mg/day and titrated upward to a maximum of 500 mg/day based on patient's response and side effects, with a minimum daily dose of 100 mg. Improvement in gambling was assessed via the pathological gambling modifications of the Yale-Brown Obsessive Compulsive Scale (PG-YBOCS), the Clinical Global Impressions-Improvement scale (PG-CGI-I), and self-rated gambling scales. Response was defined a priori as both a 25% reduction in PG-YBOCS score and a score of I (very much improved) or 2 (much improved) on the PG-CGI-I scale. RESUITS: Twelve subjects completed the study, and 2 subjects were early dropouts who did not receive the minimum required dose. Significant improvements were noted in all gambling outcome measures, as well as in depression and anxiety ratings (which did not significantly correlate with gambling reduction). Nine (75) of 12 patients were rated as responders according to a priori criteria. Side effects (GTY mouth and sedation) of moderate severity occurred in 4 subjects. CONCLUSION: These preliminary results suggest that nefazodone may be effective in reducing symptoms of pathological gambling and is well MEDLINE on STN ANSWER 3 OF 22 tolerated. 5 2

Current opinion in investigational drugs (London, England : 2000), (2002 Jan) 3 (1) 106-12. Ref. 63 Journal code: 100965718. ISSN: 1472-4472. de Angelis Luisa Department of Biomedical Sciences, University of Trieste, Italy.. depression. Myers J E: Thase M E Janssa D E. Thase Litusville, NJ, USA. Psychopharmacology bulletin, (2001 Autumn) 35 (4) 109-29. Ref: Risperidone: review of its therapeutic utility in PubMed ID: 12054060 5-HT2A antagonists in psychiatric disorders. Journal code: 0101123. ISSN: 0048-5764. => S L8 AND (2001/PY OR 2002/PY) 518671 2001/PY 541230 2002/PY L9 237 L8 AND (2001/PY OR 2002/PY) -> S L10 AND (CLINI? OR THERA?)
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L11 62 L10 AND (CLINI? OR THERA?) United States Journal; Article; (JOURNAL ARTICLE) General Review; (REVIEW) (REVIEW, TUTORIAL) MEDLINE on STN MEDLINE on STN (REVIEW OR REVIEWS) 4 L11 AND REVIEW Entered STN: 20021026 Last Updated on STN: 20021211 Entered Medline: 20021113 528367 ANTAG? 1354 L7 AND ANTAG? 2002639063 MEDLINE PubMed ID: 12397861 MEDLINE (5(W)HT2A) S L9 NOT L5 0 236 L9 NOT L5 -> S L11 AND REVIEW 416722 REVIEW 52232 REVIEWS 457346 REVIEW Ideangelis@spin.it Priority Journals 200211 2140385 5 2290 HT2A 2277 5-HT2A ANSWER 1 OF 4 ANSWER 2 OF 4 AND ANTAG? 2002311949 English => S 5-HT2A → D 1-4 => S L7 TI PAN II ₹ S 110 L12 AN TI AN CS S S S asaa asaa ಕ್ಷ ឌ C

relevance to schizophrenia, tardive dyskinesia, major depression, suicidality, anorexia nervosa and obsessive-compulsive disorder. Evidence is presented that implies that selective 5-HT2A antagonists may be considered useful in investigating the role of L12 ANSWER 2 OF 4 MEDLINE on STN
AB Several lines of evidence support a role for serotoninergic (5-HT) system
abnormalities in the pathogenesis and treatment of several psychiatric
disorders. This review summarizes information about the
association between the 5-HT2A receptor gene and its PubMed ID: 11836973 Estrogenic modulation of brain activity: implications for schizophrenia 2001148942 HEDLINE
PubMed ID: 11212595
Quetiapine and obsessive-compulsive symptoms (OCS): case report and
review of atypical antipsychotic-induced OCS.
Khullar A; Chale P; Tibbo P
University of Alberta, Edmonton, Alta.
Journal of psychiatry & neuroscience : JPN, (2001 Jan) 26 (1) and Parkinson's disease.

Cyr Michel; Calon Frederic; Morissette Marc; Di Paolo Therese Oncology and Molecular Endocrinology Research Centre, Faculte pharmacte, Universite Laval, Sainte-Foy, Que.
Journal of psychiatry 4 neuroscience: JPN, (2002 Jan) 27 (1) 12-27. Ref: 9107859. ISSN: 1180-4882. Journal code: 9107859. ISSN: 1180-4882. Journal; Article; (JOURNAL ARTICLE) General Review; (REVIEW) (REVIEW, TUTORIAL) Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN Entered STN: 20020212 Last Updated on STN: 20020410 Entered Medline: 20020409 Entered STN: 20010404 Last Updated on STN: 20010404 Entered Medline: 20010315 Last Updated on STN: 20021218 Entered Medline: 20021217 ANSWER 3 OF 4 MEDLINE Priority Journals Priority Journals ANSWER 4 OF 4 (CASE REPORTS) English 200103 200204 Canada => D ABS 2 L12 AN DN L12 AN DN TI SOS BESE AQ CS SS 검 BESE 급당

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English

5-HT2A receptor function and in the treatment of psychosis, and possibly alcohol and cocaine dependence. Additionally, findings are reviewed on the importance of 5-HT2A. FHT2A receptor antagonism in contributing to the therapeutic effect of several clinically effective and potential atypical antipsychotics as well as several antidepressants. In conclusion, the ability of selective 5-HT2A receptor antagonists to interfere with the heightened state of dopamine activity without altering basal tone, suggests that these drugs possess antipsychotic activity and may provide the basis for new therapies for psychosis and drug dependence, in addition to contributing towards a more complete understanding of 5-HT2A receptor

Adis International Limited, Mairangi Bay, Auckland, New Zealand.

Bhana N; Spencer C

So So

demail@adis.co.nz Drugs & aging, (2000 Jun) 16 (6) 451-71. Ref: 74 Journal code: 9102074. ISSN: 1170-229X.

Journal; Article; (JOURNAL ARTICLE)

New Zealand

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General Review; (REVIEW) (REVIEW, TUTORIAL)

Entered STN: 20010322 Last Updated on STN: 20010322 Entered Medline: 20001130

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English

PubMed ID: 10939309 Risperidone: a raview of its use in the management of the behavioural and psychological symptoms of dementia.

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ABS There is extensive evidence to implicate dysregulation of noradrenergic, sercionarie evidence to implicate dysregulation of noradrenergic, sercionarie evidence to implicate dysregulation of noradrenergic, sercionarie evidence to implicate dysregulation the pathophysiology of mood disorders. The receptor profile for risperidone, an atypical antipsychotic with demonstrated efficacy in schizophrenia, is consistent with possible antidepressant activity. Specifically, risperidone is a potent antagoniate of central 5-HTZA.

receptors, addressing symptoms such as insomnia, adjuation, and weight loss and may indirectly enhance 5-HTIA-mediated neurotransmission. A search of the worldwide medical literature published through December 2000 revealed 24 publications pertinent to the clinical use of risperidone in the treatment of patients with depressive symptomatology. In schizophrenia, in which depression is a common comorbid condition, the results of eight randomized, blinded, and controlled trials consistently demonstrated that treatment with risperidone significantly reduced scores on various measures of depressive symptoms. Moreover, these effects were distinct from improvements in negative and positive symptoms.

Antidepressant effects also were observed in two large metera-analyses of trials in patients with schizophrenia or schizoaffective disorder.

Observations from uncontrolled studies and case reports of risperidone therapy of other psychiatric disorders were similarly suggestive of antidepressant activity. Collectively, the evidence we present in this review indicates that risperidone's therapoutic benefits in patients with any include effectiveness in treating depression and related affective disorders. Serve of concomitant therapy with an arypical antipsychotic utility of concomitant therapy with an arypical antipsychotic utility of concomitant therapy are now needed to evaluate the psychotic, bipolar, and treatment-resistant depressive syndromes.

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1 L14 AND REVIEW

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Novel antipsychotics and extrapyramidal side effects. Theory and reality. Prague Psychiatric Centre and the 3rd Faculty of Medicine of Charles University, Czech Republic., noracek@PCP.LF3.CUNI.CZ Dermacopsychiatry, (2000 Sep) 33 Suppl 1 34-42. Ref: 87 Journal code: 8402938. ISSN: 0176-3679. GERWANY: Germany, Federal Republic of Journal? Article: (JONRAL ARTICLE) General Review; (REVIEW) PubMed ID: 11081993 Effects of sesquiterpenoids from "Oriental incenses" on acetic acid-induced writhing and D2 and 5-HTZA receptors in Okugawa H; Ueda R; Matsumoto K; Kawanishi K; Kato K Okugawa H; Ueda R; Matsumoto K; Kawanishi K; Kato K Institute for Oriental Medicine, Hyogo, Amagasaki, Hyogo, Phytomedicine: international journal of phytotherapy and phytopharmacology, (2000 oct) 7 (5) 417-22. Journal code: 9438794. ISSN: 0944-7113. GERMANY: Germany, Federal Republic of Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN Last Updated on STN: 20010813 Entered Medline: 20010809 Entered STN: 20010425 Last Updated on STN: 20010425 MEDLINE MEDLINE Entered STN: 20010813 22 L14 NOT L15 PubMed ID: 11072763 REVIEW, TUTORIAL) Priority Journals Priority Journals ANSWER 2 OF 22 ANSWER 1 OF 22 => S L14 NOT L15 2001447861 Horacek J English English 200108 => D 1-22 L16 DN DN TI AU CS 116 So SESE SO 日間に日日 검당

1-[2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally LY367265, an inhibitor of the 5-hydroxytryptamine transporter and 5-hydroxytryptamine(2A) receptor antagonist: a comparison with the antidepressant, nefazodone a the antidepressant. Infazodone Bullar I A: Carney S L; Colvin E M: Lucaites V L; Nelson D L; Wedley S Eli Lilly and Company, Lilly Research Centre Ltd., Erl Wood Manor, Surrey Guzo 6PH, windsesham, UK: pullar@lilly.com European journal of pharmacology, (2000 Oct 27) 407 (1-2) 39-46. Journal code: 1254354. ISSN: 0014-2999. active 5-HT2C receptor inverse agonists.

Active 5-HT2C receptor inverse agonists.

Ring F D: Blackburn T P; Holland V; Kennett G A; Lightowler S; Middlemiss D N; Riley G J; Trail B; Wood M D S; SinthMilne Beecham Pharmaceuticals, Discovery Research, Harlow, Essex, UK.: steve bromidge-l@ebphrd.com

Bloorganic & medicinal chemistry letters, (2000 Aug 21) 10 (16) 2001179156
PubMed ID: 11206702
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PubMed ID: 1206702
Popamine D4 receptors and development of newer antipsychotic drugs.
Kulkarni S K; Ninan I
Pharmacology Division, University Institute of Pharmaceutical Sciences,
Pharmacology Division, University Institute of Pharmaceutical Sciences,
Pharmacology Division, University India.. skpu@yahoo.com
Fundamental & clinical pharmacology, (2000 Nov-Dec) 14 (6)
529-39. Ref: 107 Journal code: 8710411. ISSN: 0767-3981. France Journal code: 9107377. ISSN: 0960-894X. ENGLAND: United Kingdom Journal; Article; (JOURNAL ARTICLE) General Review; (REVIEW) (REVIEW, TUTORIAL) Journal; Article; (JOURNAL ARTICLE) Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN MEDLINE on STN Entered STN: 20010322 Last Updated on STN: 20010322 Entered Medline: 20001229 Entered STN: 20010404 Last Updated on STN: 20010404 Entered Medline: 20010329 Last Updated on STN: 20010322 Entered Medline: 20010118 Entered Medline: 20010419 2001084926 MEDLINE PubMed ID: 10969987 MEDLINE Entered STN: 20010322 PubMed ID: 11050288 Priority Journals Priority Journals Priority Journals ANSWER 5 OF 22 ANSWER 3 OF 22 ANSWER 4 OF 22 Netherlands English English English 200103 11 8 AN 11 6 L16 AN DN TI AU CS TI AN I Ş So 863636 ಡರ E E E E

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Platelet 5-HT(3) receptors in schizophrenic patients with and without neuroleptic treatment.

Govitrapond P: Chapturip J: Turakitwanakan W: Srikiatkhachorn A Neuro-Behavioural Biology Center, Institute of Science and Pechnology for Research and Development, Mahidol University, Salaya, 73170, Nakornpathom, Thailand. grpkKemhahidol.ac.hh
Psychiatry research, (2000 Sep 25) 96 (1) 41-50.

Journal code: 7911385. ISSN: 0165-1781.
                                PubMed ID: 10928333
High SHT2A receptor occupancy in M100907-treated schizophrenic patients.
Talvik-Lotfi M; Nyberg S; Nordstrom A L; Ito H; Halldin C; Brunner F;
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  Effective open-label treatment of tourette's disorder with olanzapine. Stamenkovic M; Schindler S D; Aschauer H N; De Zwaan M; Willinger U; Resinger E; Kasper S
Department of General Psychiatry, University Hospital for Psychiatry
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        Risperidone counteracts lethality in an animal model of the serotonin
                                                                                                          Department of Clinical Neuroscience, Karolinska Hospital, Stockholm,
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Department of Psychiatry, Jichi Medical School, Tochigi-Ken, Japan.
Psychopharmacology, (2000 May) 150 (1) 9-14.
Journal code: 7068025. ISSN: 0033-3158.
GERWANY: Germany, Federal Republic of Journal: Article; (JOURNAL ARTICLE)
                                                                                                                      Sweden. mirjanl@psyk.ks.se
Psychopharmacology, (2000 Mar) 148 (4) 400-3.
Ournal code: 7608025. ISSN: 0033-3158.
GERWANY: Germany, Federal Republic of
(CLINICAL TRIAL)
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2000329696 MEDLINE

PubMed ID: 108698899

Effects of serotonin and serotonergic agonists and antagonists
on the production of interferon-gamma and interleukin-10.

Webzer M, Kenis G; Bosamans E; Scharpep S; Maes M

Clinical Research Center for Mental Health, Anwerp, Belgium.

Neuropsychopharmacology: official publication of the American College of ANSWER 11 OF 22 MEDLINE on STN
2000397817 MEDLINE
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AT-1015, a novel serotonin (5-HT)2 receptor antagonist, blocks
vascular and platelet 5-HT2A receptors and prevents
the laurate-induced peripheral vascular lesion in rats.
Kihara H. Hirose K; Koganei H; Sasaki N; Yamamoto H; Kimura A; Nishimori Public MEDLINE
PubMed ID: 10043455
Influence of 5-hydroxytryptamine and the effect of a new serotonin receptor antagonist (sarpogrelate) on detrusor smooth muscle of streptozotech-induced diabetes mellitus in the rat.
Kodama M; Takimoto Y
Department of Urology, Nihon University School of Medicine, Surugadai Nihon University Hospital, Tokyo, Japan.
International journal of trology : official journal of the Japanese Urological Association, (2000 Jun) 7 (6) 231-5. Pharmaceutical Research Laboratories, Ajinomoto Co., Inc., Kawasaki, Vienna, Austria. International clinical psychopharmacology, (2000 Jan) 15 (1) Journal of cardiovascular pharmacology, (2000 Apr) 35 (4) Journal code: 7902492. ISSN: 0160-2446. Journal code: 8609061. ISSN: 0268-1315 Journal; Article; (JOURNAL ARTICLE) ENGLAND: United Kingdom (CLINICAL TRIAL) Journal; Article; (JOURNAL ARTICLE) Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN Entered STN: 20000928 Last Updated on STN: 20000928 Entered Medline: 20000921 Entered STN: 20001005 Last Updated on STN: 20001005 Entered Medline: 20000925 20000824 Entered STN: 20000824 Last Updated on STN: 20000 Entered Medline: 20000816 r; Shoji M; Yoshimoto Priority Journals Priority Journals Priority Journals ANSWER 12 OF 22 ANSWER 10 OF 22 United States Australia English English English 200009 Japan. E16 PN AN BESEAG BESEA So စ္တ ပ္သ EEES PY CS S CS AG

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Department of Neurology and Neuroscience, Weill Medical College of Cornell Midversity, 411 East 69th Street, New York, NY, USA.. mdoberty@nail.med.cornell.edu DA04600 (NIDA)
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Pubbled ID: 10839333
A positron emission tomography study of quetiapine in schizophrenia: a preliminary finding of an antipsychotic effect with only transiently high dopamine DZ receptor occupancy.
Stapur S; Stapursky, S, Jones C; Shammi C S; Remington G; Seeman P Department of Psychiatry, University of Toronto, Ontario.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                ANSWER 15 OF 22 MEDLINE on STN 2000263588 MEDLINE PubMed ID: 10802024 Ultrastructural localization of the serotonin 2A receptor in dopaminergic neurons in the ventral tegmental area.
                                                                                                                                                                                                                                    PubMed ID: 10870512
Effect of fluoxetine on intraocular pressure in the rabbit.
Costagliola C; Mastropasqua L; Capone D; Verolino M; Ciancaglini M;
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Experimental code: 0370707. ISSN: 0014-4835.

ENGLAND: United Kingdom
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Neuropsychopharmacology, (2000 Jul) 23 (1) 89-98.
Journal code: 8904907. ISSN: 0893-133X.
United States
Journal: Article; (JOURNAL ARTICLE)
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2000226253 MEDLINE
PubMed ID: 10763515
PubMed ID: 10763515
Vasostabilizing effect of Dotarizine (Ca(2+)-channel blocker) on cerebrovascular reactivity in rabbits.
Kuridza reactivity in rabbits.
Bopartment of Neurosurgery, Polish Academy of Sciences, Warszaw, Poland.
Neurological research, (2000 Mar, 22 (2) 229-32.
Journal code: 7905298 ISSN: 0161-6412. Pharmacologic profile of perphenazine's metabolites.

Sweet R A: Pollock B G: Milsant B H; Rosen J; Sorisio D: Kirshner M;
Henteleff R: DeMichele M A
Geriatric Psychopharmacology, Department of Psychiatry, University of
Pittsburgh School of Medicine, Pennsylvania, USA.. SweetRA@msx.upmc.edu
MH 01533 (NIMH)
MH 55106 (NIMH) 2000245211 MEDLINE Pubmed 1D: 1078145
Pubmed 1D: 1078145
T102C polymorphism of the serotonin (5-HT) 2A receptor gene in patients with non-fatal acute myocardial infarction.
Yamada 3; Akita H; Kanazawa K; Ishida T; Hirata K; Ito K; Kawashima S; Okoyama M The First Department of Internal Medicine, Kobe University School of Medicine, 7-5-1 Kusunoki-cho, Chuo-ku, Kobe, Japan. Atherosclerosis, (2000 May) 150 (1) 143-8.
Journal code: 0242543. ISSN: 0021-9150. Journal of clinical psychopharmacology, **(2000 Apr)** 20 (2) 181-7. Journal code: 8109496. ISSN: 0271-0749. Journal; Article; (JOURNAL ARTICLE) Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE Journal: Article: (JOURNAL ARTICLE) Journal; Article; (JOURNAL ARTICLE) MEDLINE on STN MEDLINE on STN Entered STN: 20000706 Last Updated on STN: 20000706 Entered Medline: 20000628 Last Updated on STN: 20000613 Entered Medline: 20000531 Entered STN: 20000714 Last Updated on STN: 20000714 Entered Medline: 20000703 ENGLAND: United Kingdom MEDLINE Entered STN: 20000613 2000231225 MEDE: PubMed ID: 10770456 Priority Journals Priority Journals Priority Journals Priority Journals ANSWER 18 OF 22 ANSWER 16 OF 22 ANSWER 17 OF 22 United States Netherlands English Ireland English English 200007 LI16 AN DN TI AU CS LI16 DN TI C S S S III S PRESE BESEBS

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Chronic electroconvulsive shock decreases (+/-) 1-(4-iodo-2,5-dimethoxyphony)-2-aminopropane hydrochloride (DOI)-induced wet-dog shake behaviors of dexamethasone-treated rats.

Kozuru T; Kagaya A; Takebayashi M; Horiguchi J; Yamawaki S
Medicine, Japan.

Life sciences, (2000 Feb 18) 66 (13) 1271-9.

Life sciences, (2000 Feb 18) 66 (13) 1271-9.

ENGLAND: United Kingdom

Journal - Code (375521. ISSN: 0024-3205.
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Journal code: 8904907. ISSN: 0893-133X.
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200193532 MEDLINE
Pubbled ID: 10727629
Melatonin reversal of DoI-induced hypophagia in rats; possible mechanism
by suppressing 5-HT(2A) receptor-mediated activation of HPA axis.
Raphavendra V; Kulkarni S K
Pharmacology Division, University Institute of Pharmaceutical Sciences,
Brajab University, Chandigath, India.
Brain research, (2000 Max 31) 860 (1-2) 112-8.
Journal code: 0045503. ISSN: 0006-8993.
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                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     Kranzler H R; Modesto-Lowe V; Van Kirk J
Alcohol Research Center, Department of Psychiatry, University of
Connecticut School of Medicine, Farmington, CT 06030-2103, USA.
K02-AA0239 (NIAAA)
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Last Updated on STN: 20000622 Entered Medline: 20000615 MEDLINE on STN MEDLINE PubMed ID: 10721882 OF 22 ANSWER 22 I M M I

Posthallucinogen-like visual illusions (palinopsia) with risperidone in a patient without previous hallucinogen exposure: possible relation to serotonin SiTZa receptor blockade.

Lauterbach E C; Abdelhand A; Annandale J B
Department of Psychiatry and Behavioral Sciences, Neurology Section Mercer Pharmacopsychiatry, 2000 Jan 33 (1) 38-41.

GERMANY: Germany, Federal Republic of (CASE REPORTS) BESE do S CA

Journal; Article; (JOURNAL ARTICLE) Priority Journals English

20000518 Entered STN: 20000518 Last Updated on STN: 20000 Entered Medline: 20000510

-> D ABS 20

ANSWER 20 OF 22 MEDLINE on STN

This study compared the effects of nefazodone, a serotonergic
antidepressant, with the opioid antagonist naltrexone, and an
inactive placebo in 183 alcohol-dependent subjects receiving weekly
telapse perevention psychotherapy. Following a single-blind placebo
lead-in period, subjects were tandomly assigned to receive study
medication, which they took under double-blind conditions for 11 weeks.
Naltrexone treatment was associated with significantly more adverse
neuropsychiatric and gastrointestinal effects, poorer compliance, and a
greater rate of treatment attrition. There were no reliable between-group
differences in drinking behavior. These results indicate that nefazodone
is not efficacious for treatment of alcohol dependence. Furthermore, the
clinical tuility of naltrexone seems to be limited by its adverse
effects, a finding that has important implications for efforts to develop
medications to treat alcohol dependence. L16

-> D ABS 11

1.16 AB

The serotonin (5-HT2A) antagonistic

AB The serotonin (5-HT2A) antagonistic

activities and the protective effect on laurate-induced peripheral
vascular lesions of AT-1015, a novel 5-HT2 receptor antagonist,
were investigated In platelet aggregation, AT-1015 selectively inhibited
in vitro 5-HT2A receptor—mediated aggregation, and the
activity was almost equivalent to that of ketanserin (5HT2A/2C receptor antagonist) and 100 times more potent
than saroporelate (5-HT2A receptor—mediated
). AT-1015 also inhibited 5-HT2A receptor—mediated
aggregation by oral administration in rat, and the dose required for
inhibition was equivalent to ketanserin. In a 5-HT-induced
vasoconstriction study in rat, AT-1015 slightly reduced maximal
contraction and caused a rightward shift of the concentration-response
curve (RDR value, 9.5), which was unlike competitive inhibitors such as
ketanserin and sarpogrelate (ABZ value, 9.3 and 8.7, respectively).
Moreover, the ex vivo inhibitory activity significantly remained after

oral administration (1 mg/kg). In the rat peripheral vascular lesion model, AT-1015 (1 mg/kg), p.o.) effectively prevented progression of peripheral lesions, and it was more potent compared with ketanserin, sarpogrelate, and cilostazol. These results suggest that AT-1015 is a sarpogrelate, and cilostazol. These results suggest to potent 5-HT2A receptor antagonies, and its insurmountable antagonies may be relevant to its therapeutic potential in peripheral vascular disease.

=> D ABS 2

116

ANSWER 2 OF 22 MEDLINE on STW

Beta-santalos, dehydrocostus lactone and costunolide, isolated from

beta-santalos, dehydrocostus lactone and costunolide, isolated from

criental incenses inhibited acetic acid-induced withing in mice.

Incidence of writhing produced by jinkoh-eremol, alpha-santalol and

costunolide were revealed by administration of nalcoone (mu-, kappa- and

delta-antagonists). Inhibitory activities of alpha-santalol on

opioid receptors were shown only by the delta antagonist, but

not by the mu- and kappa- antagonists. The deltal.

antagonist, but not the delta-antagonist, inhibited the

activity of alpha santalol. The mechanism of inhibitory activity on the

opioid receptor by alpha-santalol was different from that of morphine.

Alpha-santalol was shown to be the most potent of the six as an

antagonist of dopamine D2 and serctonine 5-HTZA

receptor binding. The effect of alpha-santalol, was the same as that of

chlorpromazine as an antipsychotic agent, although alpha-santalol was less

potent than chlorpromazine. AB.

SINCE FILE COST IN U.S. DOLLARS FULL ESTIMATED COST LOG HOLD

TOTAL SESSION 21.58

SESSION WILL BE HELD FOR 60 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 11:00:36 ON 22 NOV 2005